

## Graphical abstracts

### Synthesis of novel aromatic analogues of 12-HETE

Tetrahedron Letters 43 (2002) 5217

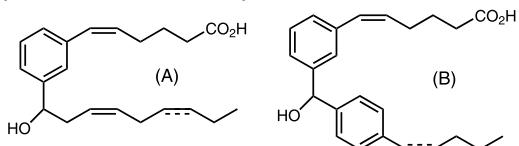
Ali Hachem,<sup>a</sup> Yves Le Floc'h,<sup>a</sup> René Grée,<sup>a,\*</sup> Yves Rolland,<sup>b</sup> Serge Simonet<sup>c</sup> and Tony Verbeuren<sup>c</sup>

<sup>a</sup>ENSCR, Synthèses et Activations de Biomolécules, CNRS UMR6052, av. du G<sup>al</sup> Leclerc, 35700 Rennes, France

<sup>b</sup>Les Laboratoires Servier, 22 rue Garnier, 92200 Neuilly sur Seine, France

<sup>c</sup>Institut de Recherches Servier, 11 rue des Moulineaux, 92150 Suresnes, France

New mono- and diaromatic analogues of the 12-HETE have been prepared using versatile strategies. The easily accessible monoacetal of isophthalaldehyde **3** was used as a key intermediate for these syntheses.



### Synthesis and antiaggregant properties of new analogues of polyunsaturated fatty acid metabolites with naphthalene or quinoline cores

Tetrahedron Letters 43 (2002) 5221

Ali Hachem,<sup>a</sup> Yves Le Floc'h,<sup>a</sup> René Grée,<sup>a,\*</sup> Chiara Cerletti,<sup>b</sup> Yves Rolland,<sup>c</sup> Serge Simonet<sup>d</sup> and Tony Verbeuren<sup>d</sup>

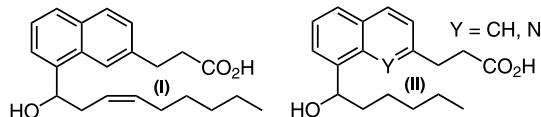
<sup>a</sup>ENSCR, Synthèses et Activations de Biomolécules, CNRS UMR 6052, Av. du G<sup>al</sup> Leclerc, 35700 Rennes, France

<sup>b</sup>Consorzio Marion Negri Sud, 66030 S. Maria Imbaro, Chieti, Italy

<sup>c</sup>Les Laboratoires Servier, 22 rue Garnier, 92200 Neuilly sur Seine, France

<sup>d</sup>Institut de Recherches Servier, 11 rue des Moulineaux, 92150 Suresnes, France

Synthesis of new bicyclic analogues of polyunsaturated fatty acid metabolites with naphthalene (**I**) or quinolines (**II**) cores and study of their activity as inhibitors of platelet aggregation.



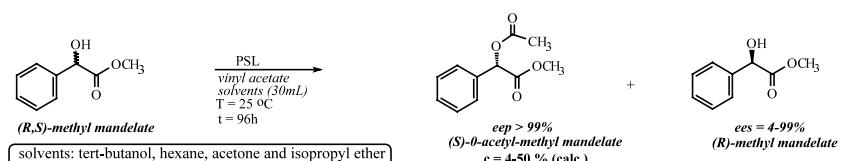
### Pseudomonas sp. lipase immobilized in polymers versus the use of free enzyme in the resolution of (R,S)-methyl mandelate

Tetrahedron Letters 43 (2002) 5225

Neide Queiroz and Maria da Graça Nascimento\*

Departamento de Química, Universidade Federal de Santa Catarina, Florianópolis, SC 88040-900, Brazil

Enantioselective acylation reaction of *R,S*-methyl mandelate with vinyl acetate in several organic solvents.



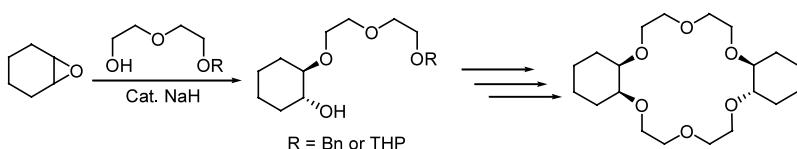
### Stereospecific synthesis of cis-trans-dicyclohexano-18-crown-6 and K<sup>+</sup> complexation by the five dicyclohexano-18-crown-6 isomers

Tetrahedron Letters 43 (2002) 5229

Kazuhiro Yamato,<sup>a</sup> Fernando A. Fernandez,<sup>a</sup> Howard F. Vogel,<sup>a</sup> Richard A. Bartsch<sup>a,\*</sup> and Mark L. Dietz<sup>b</sup>

<sup>a</sup>Department of Chemistry and Biochemistry, Texas Tech University, Lubbock, TX 79409-1061, USA

<sup>b</sup>Chemistry Division, Argonne National Laboratory, Argonne, IL 60439-4831, USA



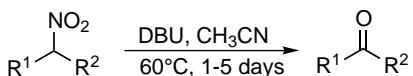
**Unprecedented, selective Nef reaction of secondary nitroalkanes promoted by DBU under basic homogeneous conditions**

Tetrahedron Letters 43 (2002) 5233

Roberto Ballini,\* Giovanna Bosica, Dennis Fiorini and Marino Petrini

Dipartimento di Scienze Chimiche, Università di Camerino, Via S. Agostino 1, I-62032 Camerino, Italy

Secondary nitrocompounds can be converted into the corresponding ketones under basic conditions using DBU in acetonitrile. Primary nitroalkanes are unaffected by these conditions.



**Regiospecific synthesis of a bridgehead-functionalized bicyclo[2.2.2]octenone**

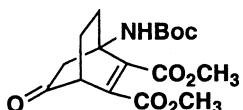
Tetrahedron Letters 43 (2002) 5237

Andrew S. Kende,<sup>a,\*</sup> Jiong Lan<sup>a</sup> and Dorit Arad<sup>b</sup>

<sup>a</sup>Department of Chemistry, University of Rochester, Rochester, NY 14627-0216, USA

<sup>b</sup>Drug Design Department, eXegenics Inc., Dallas, TX 75235, USA

Three independent strategies toward the synthesis of the protected 1-aminobicyclo[2.2.2]octene ketodiester are described.



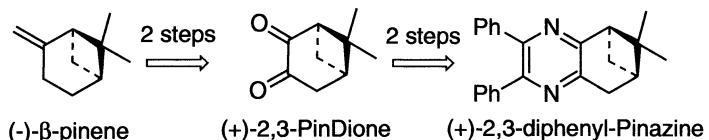
**Synthesis of (+)-2,3-PinDione, a versatile chiral 1,2-diketone**

Tetrahedron Letters 43 (2002) 5241

Christophe Michon, Jean-Pierre Djukic,\* Zoran Ratkovic and Michel Pfeffer\*

LSMI, Université Louis Pasteur, CNRS UMR 7513, 4, rue Blaise Pascal, F-67070 Strasbourg, France

The preparation of a 1,2-diketone derived from (-)- $\beta$ -pinene, i.e. (+)-2,3-PinDione, is reported.

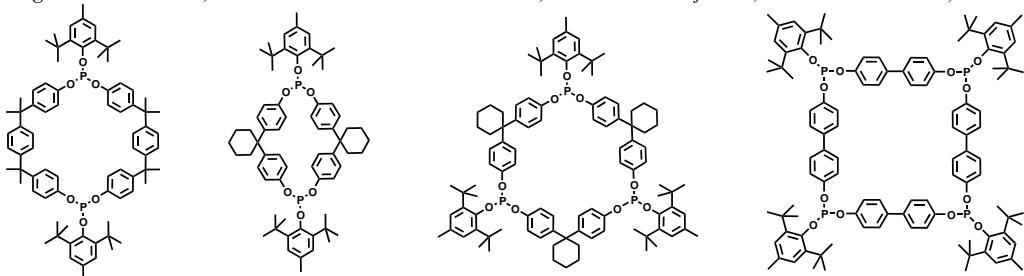


**Phosphite macrocycles of varying size**

Tetrahedron Letters 43 (2002) 5245

I. Bauer\* and W. D. Habicher

Institut für Organische Chemie, Technische Universität Dresden, Mommsenstraße 13, D-01062 Dresden, Germany

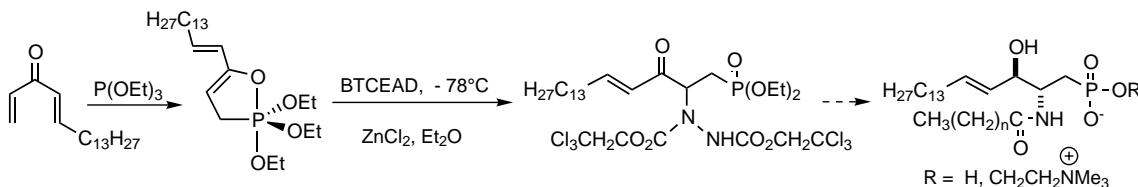


**Synthesis of a novel cyclic pentacoordinate phosphoenol ether derived from a dienone. Approaches to the syntheses of phosphonate analogs of sphingomyelin, sphingosine 1-phosphate and ceramide 1-phosphate**

Tetrahedron Letters 43 (2002) 5249

Cynthia K. McClure\* and Pranab K. Mishra

Department of Chemistry and Biochemistry, Montana State University, Bozeman, MT 59717, USA

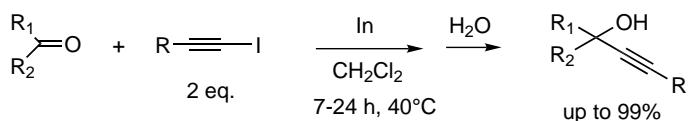


**Indium-mediated carbonyl alkynylation**

Tetrahedron Letters 43 (2002) 5255

Jacques Augé,\* Nadège Lubin-Germain and Latifa Seghrouchni

Unité Mixte de Recherche CNRS-UCP-ESCOM «Synthèse Organique Sélective et Chimie Organométallique», 5 mail Gay-Lussac, Neuville-sur-Oise, 95031 Cergy-Pontoise, France



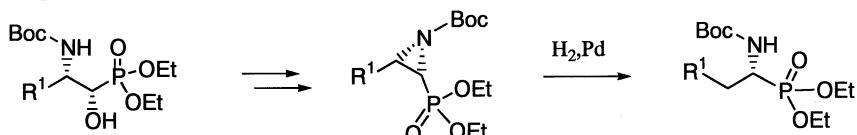
**An efficient synthesis of  $\alpha$ - and  $\beta$ -aminophosphonic esters from  $\alpha$ -amino acids**

Tetrahedron Letters 43 (2002) 5257

Cyrille Poussot and Marc Larchevêque\*

Laboratoire de Synthèse Organique associé au CNRS, ENSCP, 11 rue Pierre et Marie Curie, 75231 Paris Cedex 05, France

Catalytic hydrogenation of *N*-Boc *cis* aziridine-2-phosphonates derived from *syn* 3-amino-2-hydroxyphosphonates affords *N*-Boc  $\alpha$ -aminophosphonic esters regioselectively.

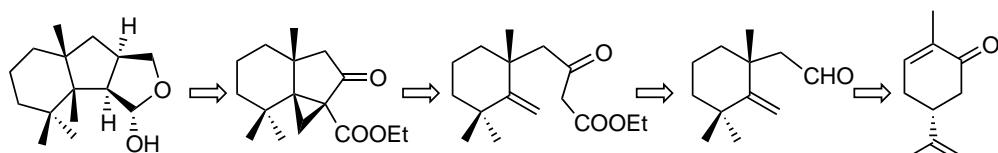


**The first enantiospecific synthesis of (+)-10,11-epoxythapsan-10-ol: revision of the absolute stereochemistry of thapsanes**

Tetrahedron Letters 43 (2002) 5261

A. Srikrishna\* and K. Anebouselv

Department of Organic Chemistry, Indian Institute of Science, Bangalore 560 012, India



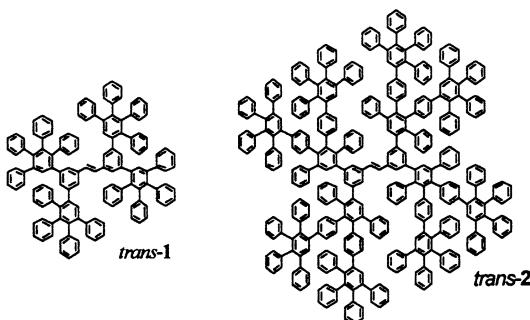
**Synthesis and photochemical properties of polyphenylene dendrimers with photoreactive stilbene core**

Tetrahedron Letters 43 (2002) 5265

Masako Imai and Tatsuo Arai\*

Department of Chemistry, University of Tsukuba, Tsukuba,  
Ibaraki 305-8571, Japan

Photoresponsive polyphenylene dendrimers **1** and **2** have been synthesized for the first time and their photochemical reaction as well as excited state properties have been studied.

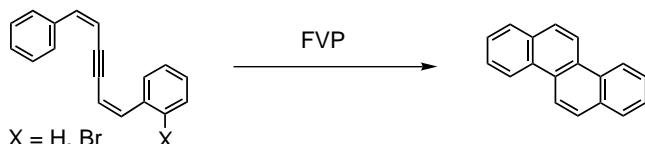


**Flash vacuum pyrolysis of 1,6-diphenyl-1,5-hexadien-3-yne: tandem diaryldiene cyclizations to form chrysene**

Tetrahedron Letters 43 (2002) 5269

Motohiro Sonoda, Kayo Itahashi and Yoshito Tobe\*

Department of Chemistry, Faculty of Engineering Science, Osaka University, and CREST, Japan Science and Technology Corporation (JST), Toyonaka, Osaka 560-8531, Japan



**A new method for optical resolution of BINOL by molecular complexation with (S)-5-oxopyrrolidine-2-carboxanilide**

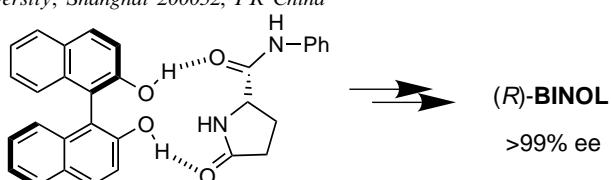
Tetrahedron Letters 43 (2002) 5273

Haifeng Du,<sup>a,b</sup> Baoming Ji,<sup>a,b</sup> Yang Wang,<sup>c</sup> Jie Sun,<sup>a</sup> Jiben Meng<sup>b</sup> and Kuiling Ding<sup>a,\*</sup>

<sup>a</sup>State Key Laboratory of Organometallic Chemistry, Shanghai Institute of Organic Chemistry, The Chinese Academy of Sciences, 354 Fenglin Road, Shanghai 200032, PR China

<sup>b</sup>Department of Chemistry, Nankai University, Tianjin 300071, PR China

<sup>c</sup>School of Pharmacy, Fudan University, Shanghai 200032, PR China



**A novel diterpene hydroperoxide, glutinosin C, from *Isodon glutinosa***

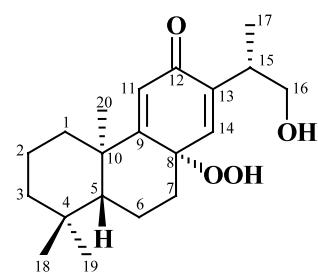
Tetrahedron Letters 43 (2002) 5277

Xuemei Niu,<sup>a</sup> Shenghong Li,<sup>a</sup> Qinshi Zhao,<sup>a</sup> Zhongwen Lin,<sup>a</sup> Handong Sun,<sup>a,\*</sup> Yang Lu,<sup>b</sup> Lili Zhang<sup>b</sup> and Qitai Zheng<sup>b</sup>

<sup>a</sup>Laboratory of Phytochemistry, Kunming Institute of Botany, The Chinese Academy of Sciences, Kunming 650204, PR China

<sup>b</sup>Institute of Materia Medica, The Chinese Academy of Medical Sciences, Beijing 100050, PR China

A novel diterpene hydroperoxide, glutinosin C **1**, has been isolated from the leaves of *Isodon glutinosa*. Its structure and relative stereochemistry were established on the basis of the spectral features and confirmed by single crystal X-ray analysis.



**1**

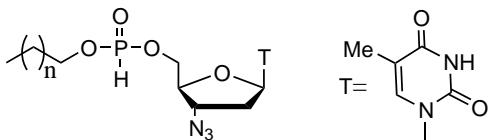
**Novel approach to the synthesis of AZT 5'-O-hydrogen phospholipids**

Tetrahedron Letters 43 (2002) 5281

Qiang Xiao,<sup>a</sup> Jing Sun,<sup>b</sup> Yong Ju,<sup>a,\*</sup> Yu-fen Zhao<sup>a,\*</sup> and Yu-xin Cui<sup>b</sup>

<sup>a</sup>The Key Laboratory of Bioorganic Phosphorus Chemistry, Ministry of Education, Department of Chemistry, School of Life Sciences and Engineering, Tsinghua University, Beijing 100084, PR China

<sup>b</sup>National Research Laboratory of Natural and Biomimetic Drugs, School of Pharmaceutical Sciences, Peking University, Beijing 100083, PR China



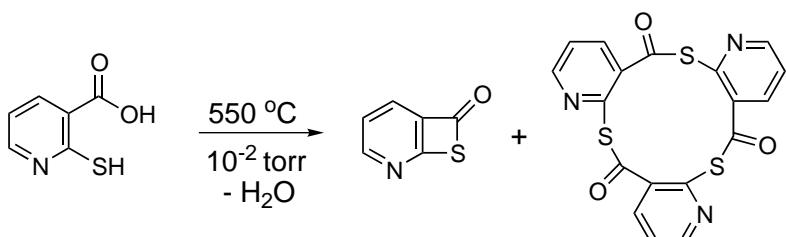
n=8, 10, 12, 14, 16

**Synthesis of pyridothietone by flash vacuum pyrolysis of 2-mercaptopurinic acid**

Tetrahedron Letters 43 (2002) 5285

Chin-Hsing Chou,\* Shao-Jung Chiu and Wei-Min Liu

Department of Chemistry, National Sun Yat-Sen University, Kaohsiung 80424, Taiwan, ROC

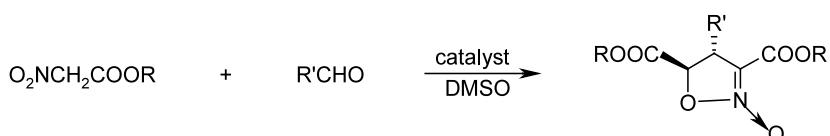


**Highly diastereoselective condensation of  $\alpha$ -nitro-esters with aldehydes catalyzed by zinc complexes of amino acids**

Tetrahedron Letters 43 (2002) 5287

A. Chatterjee, S. C. Jha and N. N. Joshi\*

Division of Organic Synthesis, National Chemical Laboratory, Pune 411008, India

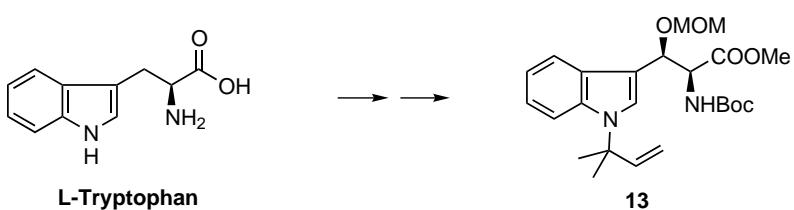


**Synthesis of a fully protected (2*S*,3*R*)-*N*-(1',1'-dimethyl-2-propenyl)-3-hydroxytryptophan from tryptophan**

Tetrahedron Letters 43 (2002) 5291

Shi-Jun Wen, Hong-Wang Zhang and Zhu-Jun Yao\*

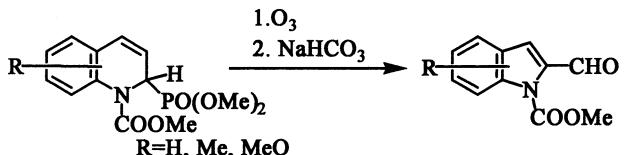
State Key Laboratory of Bioorganic and Natural Products Chemistry, Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, 354 Fenglin Rd., Shanghai 200032, China



**Novel ring transformation of quinolines to indole derivatives in two steps**

Tetrahedron Letters 43 (2002) 5295

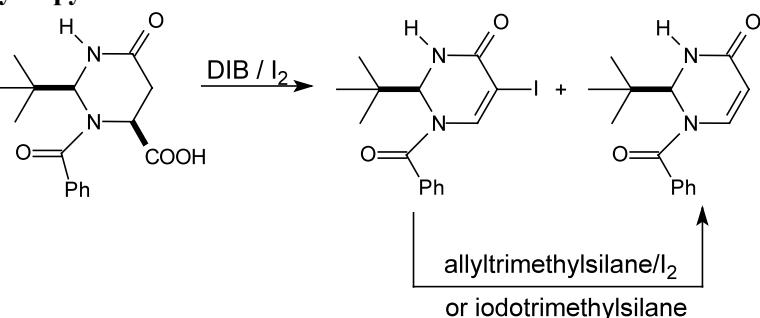
Michiharu Sugiura,\* Natsuyo Yamaguchi, Takafumi Saya, Misato Ito, Koosuke Asai and Isamu Maeba  
Faculty of Pharmacy, Meijo University, Yagotoyama 150, Tempaku-ku, Nagoya 468-8503, Japan



**Tandem reactions initiated by the oxidative decarboxylation of 1-benzoyl-2(S)-*tert*-butyl-6(S)-carboxy-perhydropyrimidin-4-one**

Tetrahedron Letters 43 (2002) 5297

Martín A. Iglesias-Arteaga,  
C. Gabriela Avila-Ortiz and Eusebio Juaristi\*  
Departamento de Química, Centro de Investigación  
y de Estudios Avanzados del Instituto Politécnico  
Nacional, Apartado Postal 14-740, 07000 México,  
D.F. Mexico

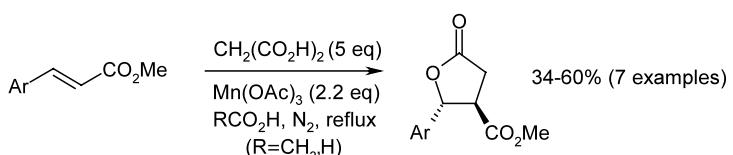


**Efficient Mn<sup>III</sup>-mediated synthesis of functionalized *trans*-3,4-disubstituted- $\gamma$ -butyrolactones**

Tetrahedron Letters 43 (2002) 5301

Alain Méou, Laurent Lamarque and Pierre Brun\*

Laboratoire de Synthèse Organique Sélective, GCOMM, UMR-CNRS 6114, Faculté des Sciences de Luminy,  
163 Avenue de Luminy, 13288 Marseille Cedex 9, France



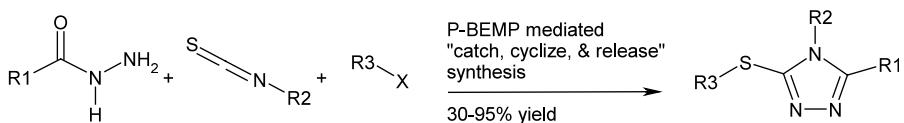
**A convenient ‘catch, cyclize, and release’ preparation of 3-thio-1,2,4-triazoles mediated by polymer-bound BEMP**

Tetrahedron Letters 43 (2002) 5305

Todd L. Graybill,<sup>a,\*</sup> Sonia Thomas<sup>b</sup> and Michelle A. Wang<sup>b</sup>

<sup>a</sup>GlaxoSmithKline, Discovery Research, 1250 S. Collegeville Road, Collegeville, PA, USA

<sup>b</sup>GlaxoSmithKline, Discovery Research, 709 Swedeland Road, King of Prussia, PA, USA

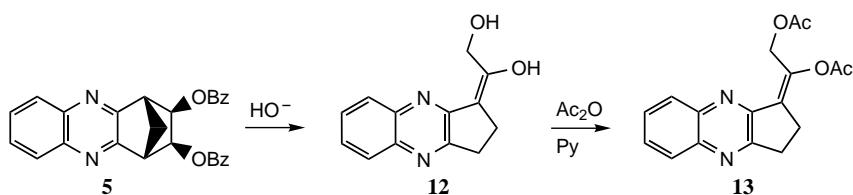


**Unexpected anionically driven ring opening of a norbornene system**

Tetrahedron Letters 43 (2002) 5311

Carmen López, Olga Caamaño, Antonio R. Hergueta, Marcos D. García and Franco Fernández\*

Departamento de Química Orgánica, Facultade de Farmacia, Universidade de Santiago de Compostela,  
E-15782 Santiago de Compostela, Spain

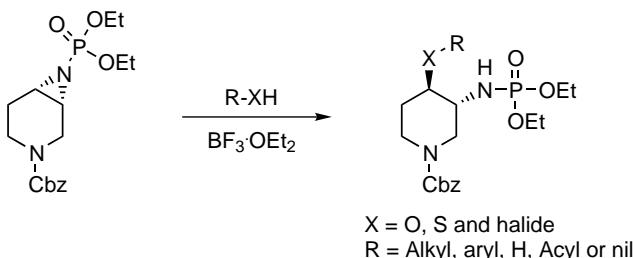


**Lewis acid promoted regio- and stereoselective hetero nucleophilic addition to a piperidinyl aziridine. Synthesis of *trans* 3-amino-4-substituted piperidines**

Tetrahedron Letters 43 (2002) 5315

X. Eric Hu

Procter & Gamble Pharmaceuticals,  
8700 Mason-Montgomery Road, Mason, OH 45040, USA

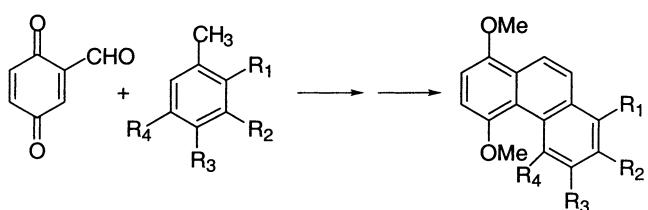


**Synthesis of phenanthrenes from formylbenzoquinone**

Tetrahedron Letters 43 (2002) 5319

George A. Kraus,\* Kim Hoover and Ning Zhang

Department of Chemistry, Iowa State University, Ames, IA 50011, USA



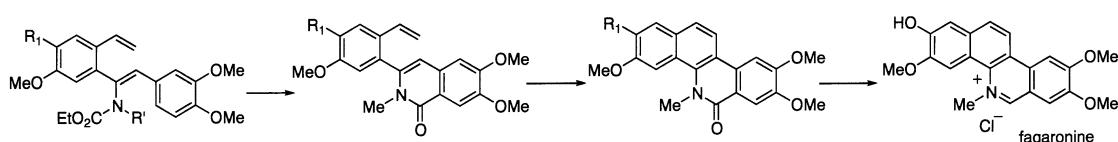
**A new route to 3-(2-vinylphenyl)-2-methyl-2*H*-isoquinolin-1-ones and benzo[c]phenanthridines: total synthesis of fagaronine**

Tetrahedron Letters 43 (2002) 5323

Mónica Treus, Juan C. Estévez, Luis Castedo and Ramón J. Estévez\*

Departamento de Química Orgánica and Unidade Asociada (CSIC), Universidade de Santiago, 15782 Santiago de Compostela, Spain

Treatment of *N*-carbethoxy-1-benzylideneisoquinolines with LDA gives *N*-ethoxycarbonyl-1-amino-1-(2-vinylphenyl)-2-phenylethylenes, which can be transformed into 3-(2-vinylphenyl)-2-methyl-2*H*-isoquinolin-1-ones by Bischler-Napieralski reactions, and thence into benzo[c]phenanthridin-6-ones. The use of this route for a new total synthesis of fagaronine is described.

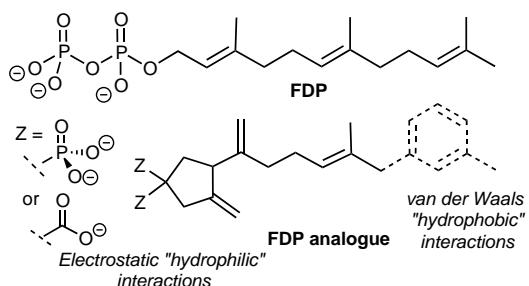


**Cycloisomerisation of modified terpenoid 1,6-enynes—synthesis of conformationally-restricted cyclic farnesyl analogues**

Tetrahedron Letters 43 (2002) 5327

Ian J. S. Fairlamb,\* Alan C. Pike and  
Sebastien P. C. P. Ribrioux

Department of Chemistry, University of York,  
Heslington YO10 5DD, UK

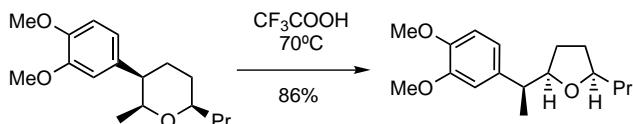


**Novel ether-ring transformation via a phenonium ion**

Tetrahedron Letters 43 (2002) 5333

Shinji Nagumo,\* Yusuke Ishii, Yo-ichiro Kakimoto and  
Norio Kawahara\*

Hokkaido College of Pharmacy, Katuraoka 7-1, Otaru 047-0264, Japan



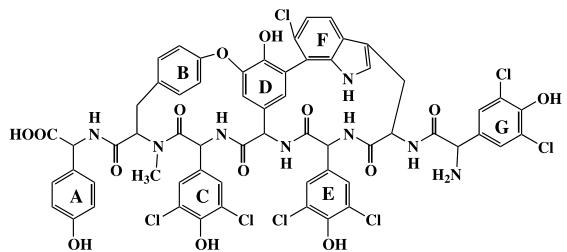
**A microbial metabolite inhibitor of CD28–CD80 interactions**

Tetrahedron Letters 43 (2002) 5339

Vinod R. Hegde,\* Mohindar S. Puar, Ping Dai, Mahesh Patel,  
Vincent P. Gullo, Birendra Pramanik and Chung-Her Jenh

Schering Plough Research Institute, 2015 Galloping Hill Road, Kenilworth,  
NJ 07033, USA

The organic extract of the fermentation broth of a *Streptomyces* microorganism was found to contain SCH 212394 (**1**), a condensed aromatic peptide. The structure was established by extensive NMR spectral data and derivatization. SCH 212394 (**1**), inhibited CD28–CD80 costimulation with an IC<sub>50</sub> of 1.25 μM.

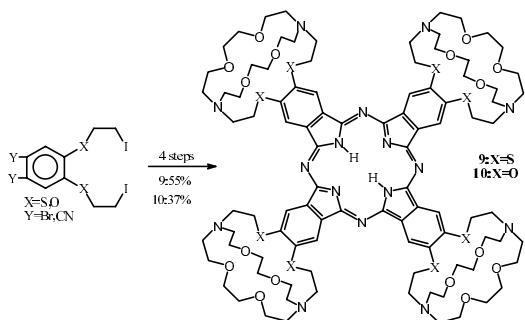


**Synthesis and characterization of novel metal-free phthalocyanines substituted with four diazadithiatetraoxa or diazahexaoxamacrobicyclic moieties**

Tetrahedron Letters 43 (2002) 5343

Ahmet Bilgin and Yasar Gök\*

Department of Chemistry, Karadeniz Technical University,  
61080 Trabzon, Turkey



**Formal dipolar cycloaddition of allylsilanes to *o*-quinonoid compounds: a convenient route to benzofused and spirofused heterocycles**

Tetrahedron Letters 43 (2002) 5349

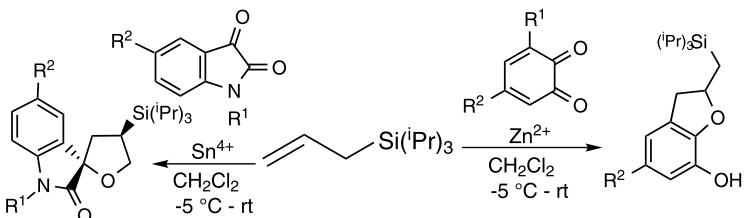
Vijay Nair,<sup>a,\*</sup> C. Rajesh,<sup>a</sup> R. Dhanya<sup>a</sup> and Nigam P. Rath<sup>b</sup>

<sup>a</sup>Organic Chemistry Division, Regional Research

Laboratory (CSIR), Trivandrum 695 019, India

<sup>b</sup>Department of Chemistry, University of Missouri,  
St. Louis, MO 63121, USA

Formal dipolar cycloaddition of allylsilanes to *o*-benzoquinones proceeds in a [2+3] manner affording dihydrobenzofurans. With isatins [3+2] annulation of the keto carbonyl occurs yielding spiro-oxindole derivatives.

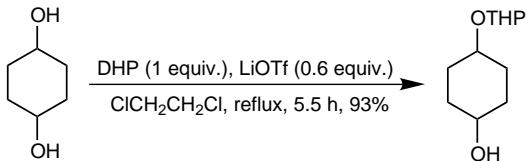


**Lithium triflate (LiOTf) catalyzed efficient and chemoselective tetrahydropyranylation of alcohols and phenols under mild and neutral reaction conditions**

Tetrahedron Letters 43 (2002) 5353

Babak Karimi\* and Jafar Maleki

Department of Chemistry, Institute for Advanced Studies in Basic Sciences (IASBS), P.O. Box 45195-159, Gava Zang, Zanjan, Iran

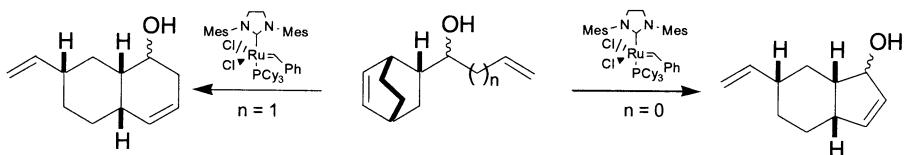


**Ring-opening–ring-closing metathesis of bicyclo[2.2.2]octenes: a novel synthesis of decalin and hydrindanes**

Tetrahedron Letters 43 (2002) 5357

Timothy L. Minger and Andrew J. Phillips\*

Department of Chemistry and Biochemistry, University of Colorado, Boulder, CO 80309-0215, USA



**A new facile method for preparation of heterocyclic  $\alpha$ -iminonitriles and  $\alpha$ -oxoacetic acid from heterocyclic aldehydes, *p*-aminophenol, and sodium cyanide**

Tetrahedron Letters 43 (2002) 5361

Branko S. Jursic,\* Frederic Douelle, Katherine Bowdy and Edwin D. Stevens

Department of Chemistry, University of New Orleans, New Orleans, LA 70148, USA

Very efficient, simple, and high yield procedures for the preparation of heterocyclic  $\alpha$ -iminonitriles, and heterocyclic  $\alpha$ -oxoacetic acids were described.

